

09/647069

2-1

534 Rec'd PCT/PTO 26 SEP 2000

We claim:

- Sub BI
1. A process for preparing compounds of the general formula I



which comprises reacting compounds of the general formula II



20 with compounds of the formula R⁴MgX (III) at temperatures below 0°C,

where the substituents and variables in the formulae I, II and III have the following meanings:

25 z = 0, 1

X = halogen, R²

30 Xᵃ = Br, I

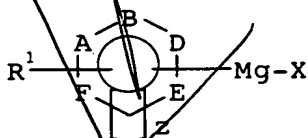
A, B, D and E independently of one another CH, CR², N, P or CR³

35 F = O, S, NR⁶, CR² or CR³ when z = 0, or CH, CR², N, P or CR³ when z = 1,

40 it being possible for two adjacent variables A, B, D, E or F together to form another substituted or unsubstituted aromatic, saturated or partially saturated ring which has 5 to 8 atoms in the ring and which may contain one or more heteroatoms such as O, N, S, P, and not more than three of the variables A, B, D, E or F being a heteroatom,

45 R¹ = COOR², CN, CONR³R³', halogen

- b1*
- R^2 = substituted or unsubstituted, branched or unbranched C_1 - C_{10} -alkyl, C_3 - C_{10} -cycloalkyl, C_1 - C_4 -alkylaryl, C_1 - C_4 -alkylhetaryl, R^5 ,
- 5 R^3 = hydrogen, substituted or unsubstituted, branched or unbranched $-OC_1$ - C_{10} -alkyl, $-OC_3$ - C_{10} -cycloalkyl, $-OC_1$ - C_4 -alkylaryl, $-OC_1$ - C_4 -alkylhetaryl, $R^{3'}$ or R^5 ,
- 10 $R^{3'}$ = hydrogen, substituted or unsubstituted, branched or unbranched C_1 - C_{10} -alkyl, C_3 - C_{10} -cycloalkyl, C_1 - C_4 -alkylaryl, C_1 - C_4 -alkylhetaryl, R^5 ,
- 15 R^4 = substituted or unsubstituted, branched or unbranched C_1 - C_{10} -alkyl, C_3 - C_{10} -cycloalkyl, C_1 - C_4 -alkylaryl, C_1 - C_4 -alkylhetaryl or halogen,
- R^5 = a solid support,
- 20 R^6 = substituted or unsubstituted, branched or unbranched C_1 - C_{10} -alkyl, C_3 - C_{10} -cycloalkyl, C_1 - C_4 -alkylaryl, C_1 - C_4 -alkylhetaryl, substituted or unsubstituted, branched or unbranched $-(C=O)-C_1$ - C_{10} -alkyl, $-(C=O)-C_3$ - C_{10} -cycloalkyl, $-(C=O)-C_1$ - C_4 -alkylaryl, $-(C=O)-C_1$ - C_4 -alkylhetaryl or $-SO_2$ -aryl.
- 25
2. A process as claimed in claim 1, which is carried out in an inert aprotic solvent.
- 30 3. A process as claimed in claim 1 or 2, which is carried out at temperatures below -15°C .
4. A process as claimed in *claim 1* ~~any of claims 1 to 3~~, wherein the reaction to give compounds of the formula I as set forth in claim 1 is complete within 10 hours.
- 35 5. A process as claimed in *claim 1* ~~any of claims 1 to 4~~, which is carried out on a solid support ($= R^5$).
- 40 6. A compound of the formula I



(I)

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in which R^1 is COOR^2 , CN or $\text{CONR}^3\text{R}^{3'}$ and the other variables and substituents have the meanings stated in claim 1.

7. A compound of the formula Ia



in which variables and substituents have the meanings stated in claim 1, and at least one of the substituents R^2 , R^3 or $R^{3'}$ is a polymeric protective group ($= R^5$).

8. The use of a process as claimed in any of ~~claims 1 to 5~~ *claim 1* for preparing substance libraries.